FILE 'AGRICOLA, ALUMINIUM, ANABSTR, BABS, BIOCOMMERCE, BIOTECHNO, CABA, CAOLD, CAPLUS, CBNB, CEABA-VTB, CEN, CERAB, CIN, COMPENDEX, CONFSCI, COPPERLIT, CORROSION, DKILIT, ENCOMPLIT, ENCOMPLIT2, FEDRIP, GENBANK, INSPEC, INSPHYS, INVESTEXT, IPA, JICST-EPLUS, ...' ENTERED AT 16:32:56 ON 31 AUG 2002

L1 37 S PHOSPHONATE PRODRUG

L2 2 S L1 AND ETOPOSIDE

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FILE 'WELDASEARCH' ENTERED AT 16:32:56 ON 31 AUG 2002

FILE 'WSCA' ENTERED AT 16:32:56 ON 31 AUG 2002

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FILE 'TEXTILETECH' ENTERED AT 16:32:56 ON 31 AUG 2002

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FILE 'USPAT2' ENTERED AT 16:32:56 ON 31 AUG 2002

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FILE 'WPINDEX' ACCESS NOT AUTHORIZED

FILE 'WTEXTILES' ENTERED AT 16:32:56 ON 31 AUG 2002

L2 ANSWER 1 OF 2 USPATFULL
AN 2002:99444 USPATFULL
TI Novel prodrugs for phosphorus-containing compounds
IN Erion, Mark D., Del Mar, CA, UNITED STATES
Reddy, K. Raja, San Diego, CA, UNITED STATES
Robinson, Edward D., San Diego, CA, UNITED STATES
Ugarkar, Bheemarao G., San Diego, CA, UNITED STATES
PI US 2002052345 A1 20020502
AI US 2001-978454 A1 20011015 (9)
RLI Continuation of Ser. No. US 1999-392352, filed on 8 Sep 1999, GRANTED, Pat. No. US 6312662 Continuation-in-part of Ser. No. US 1999-263976, filed on 5 Mar 1999, PENDING
PRAI US 1998-77164P 19980306 (60)
US 1998-77165P 19980306 (60)
DT Utility
FS APPLICATION
LN.CNT 8663
INCL INCLM: 514/079.000
INCLS: 514/110.000
NCL NCLM: 514/079.000
NCLS: 514/110.000
IC [7]
ICM: A61K031-675
ICS: A61K031-66
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 2 OF 2 USPATFULL
AN 2001:196573 USPATFULL
TI Prodrugs phosphorus-containing compounds
IN Erion, Mark D., Del Mar, CA, United States
Reddy, K. Raja, San Diego, CA, United States
Robinson, Edward D., San Diego, CA, United States
Ugarkar, Bheemarao G., San Diego, CA, United States
PA Metabasis Therapeutics, Inc., San Diego, CA, United States (U.S. corporation)
PI US 6312662 B1 20011106
AI US 1999-392352 19990908 (9)
RLI Continuation-in-part of Ser. No. US 1999-263976, filed on 5 Mar 1999
PRAI US 1998-77164P 19980306 (60)
DT Utility
FS GRANTED
LN.CNT 9069
INCL INCLM: 424/009.100
INCLS: 424/600.000; 424/001.110; 424/009.200; 424/001.650; 424/601.000; 514/007.000
NCL NCLM: 424/009.100
NCLS: 424/001.110; 424/001.650; 424/009.200; 424/600.000; 424/601.000; 514/007.000
IC [7]
ICM: A61K049-00
EXF 424/1.11; 424/1.65; 424/1.77; 424/9.1; 424/9.2; 424/600; 424/601; 424/603; 514/7
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L3 ANSWER 1 OF 4 USPATFULL
AN 97:46134 USPATFULL
TI **Epipodophyllotoxin glucoside** 4'-phosphate derivatives
IN Saulnier, Mark G., Middletown, CT, United States
Senter, Peter D., Northeast Seattle, WA, United States
Kadow, John F., Wallingford, CT, United States
PA Bristol-Myers Squibb Company, New York, NY, United States (U.S. corporation)
PI US 35524 19970603
US 4904768 19900227 (Original)
AI US 1994-229659 19940419 (8)
US 1988-199731 19880527 (Original)
RLI Continuation-in-part of Ser. No. US 1987-81492, filed on 4 Aug 1987, now abandoned
DT Reissue
FS Granted
LN.CNT 871
INCL INCLM: 536/017.100
INCLS: 536/004.100; 536/017.200; 536/018.100; 536/018.200; 536/018.500; 536/117.000; 536/124.000
NCL NCLM: 536/017.100
NCLS: 536/004.100; 536/017.200; 536/018.100; 536/018.200; 536/018.500; 536/117.000; 536/124.000
IC [6]
ICM: C07H011-04
ICS: C07H015-00
EXF 536/4.1; 536/18.1; 536/17.2; 536/18.2; 536/18.5; 536/17.1; 536/117; 536/124; 514/33; 514/34; 514/35; 514/908
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI **Epipodophyllotoxin glucoside** 4'-phosphate derivatives
SUMM The present invention relates to 4'-phosphate derivatives of **epipodophyllotoxin** glucosides, to their antitumor use, and to pharmaceutical compositions containing these new agents.
SUMM . . . Keller-Juslen et al. The compounds disclosed therein, in particular etoposide and teniposide, serve as starting material for our preparation of **epipodophyllotoxin glucoside** 4'-phosphate derivatives of the present invention. ##STR1##
SUMM The present invention provides **phosphate esters** of 4'-demethylepipodophyllotoxin glucosides which are active antitumor agents. In particular, the dihydrogen phosphate of 4'-demethylepipodophyllotoxin glucosides and salts thereof are. . .
SUMM . . . to favor one or the other reaction product. For example, when a large excess of the amine relative to the **epipodophyllotoxin** is used, the symmetrical phosphorodiamidate is obtained, i.e. compounds of formula VII wherein Y is the same as NR.sup.2 R.sup.3. . .
SUMM . . . compounds of formula V wherein R.sup.7 and R.sup.8 are not H, and they may be prepared by treating a 4'-demethylepipodophyllotoxin **glucoside** with a halophosphate diester, [i.e. Hal-P(X)(OR.sup.7)(OR.sup.8)]. It has been found that this reaction is most efficiently performed in acetonitrile. . . base is used, but both reagents are preferably employed in molar equivalents in slight excess relative to that of the **epipodophyllotoxin glucoside** reactant.
The reaction may be carried out at any temperature conductive to product formation; however, slightly elevated temperatures, e.g. 30.degree.-40.degree.. . .

L3 ANSWER 2 OF 4 USPATFULL
AN 91:66777 USPATFULL
TI **Epipodophyllotoxin glucoside** 4'-phosphate

derivatives
IN Saulnier, Mark G., Middletown, CT, United States
Senter, Peter D., Seattle, WA, United States
Kadow, John F., Meriden, CT, United States
PA Bristol-Myers Company, New York, NY, United States (U.S. corporation)
PI US 5041424 19910820
AI US 1989-450718 19891214 (7)
RLI Division of Ser. No. US 1988-199731, filed on 27 May 1988, now patented, Pat. No. US 4904768 which is a continuation-in-part of Ser. No. US 1987-81493, filed on 4 Aug 1987, now abandoned
DT Utility
FS Granted
LN.CNT 704
INCL INCLM: 514/027.000
INCLS: 514/033.000; 536/017.100; 536/018.100
NCL NCLM: 514/027.000
NCLS: 514/033.000; 536/017.100; 536/018.100
IC [5]
ICM: A61K031-70
ICS: C07H015-24
EXF 536/17.1; 536/18.1; 514/27; 514/33; 514/35
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI **Epipodophyllotoxin glucoside** 4'-phosphate derivatives
SUMM The present invention relates to 4'-phosphate derivatives of **epipodophyllotoxin** glucosides, to their antitumor use, and to pharmaceutical compositions containing these new agents.
SUMM . . . Keller-Juslen et al. The compounds disclosed therein, in particular etoposide and teniposide, serve as starting material for our preparation of **epipodophyllotoxin glucoside** 4'-phosphate derivatives of the present invention. ##STR1##
SUMM The present invention provides **phosphate esters** of 4'-demethylepipodophyllotoxin glucosides which are active antitumor agents. In particular, the dihydrogen phosphate of 4'-demethylepipodophyllotoxin glucosides and salts thereof are. . .
SUMM . . . to favor one or the other reaction product. For example, when a large excess of the amine relative to the **epipodophyllotoxin** is used, the symmetrical phosphorodiamidate is obtained, i.e. compounds of formula VII wherein Y is the same as NR.sup.2 R.sup.3. . .
SUMM . . . compounds of formula V wherein R.sup.7 and R.sup.8 are not H, and they may be prepared by treating a 4'-demethylepipodophyllotoxin **glucoside** with a halophosphate diester, [i.e. Hal-P(X)(OR.sup.7)(OR.sup.8)]. It has been found that this reaction is most efficiently performed in acetonitrile in. . . base is used, but both reagents are preferably employed in molar equivalents in slight excess relative to that of the **epipodophyllotoxin glucoside** reactant. The reaction may be carried out at any temperature conducive to product formation; however, slightly elevated temperatures, e.g. 30.degree.-40.degree.. . .

L3 ANSWER 3 OF 4 USPATFULL
AN 90:28028 USPATFULL
TI Phosphorus containing derivatives of **epipodophyllotoxin**
IN Saulnier, Mark G., Middletown, CT, United States
PA Bristol-Myers Company, New York, NY, United States (U.S. corporation)
PI US 4916217 19900410
AI US 1987-1281 19870108 (7)
DT Utility
FS Granted
LN.CNT 501
INCL INCLM: 536/017.100
INCLS: 536/004.100; 536/018.100; 536/117.000

L4 ANSWER 3 OF 4 USPATFULL

TI Phosphorus containing derivatives of **epipodophyllotoxin**

SUMM The present invention provides phosphorous containing derivatives of **epipodophyllotoxin glucoside** aldehyde or ketone condensation products which have the ability to inhibit transplanted tumors in experimental animals and to the therapeutic. . .

SUMM . . . podophyllotoxin (III). The numbering system used for nomenclature purposes is shown in Formula III. Note that podophyllotoxin and etoposide, an **epipodophyllotoxin** derivative, are epimeric at the 4-position. Etoposide and teniposide are active in the treatment of a variety of cancers including. . .

SUMM The present invention is concerned with **epipodophyllotoxin** derivatives of Formula V wherein R.sup.4 and R.sup.5 represent the carbonyl attached groups of an aldehyde or ketone of the formula R.sup.4 R.sup.5 CO which is capable of condensing with **epipodophyllotoxin glucoside** as described in the Keller-Juslen patent cited above, U.S. Pat. No. 3,524,844. R.sup.6 has one of Formulas Va, Vb, or. . .

SUMM . . . are cyclic oxyphosphoranes of Formula Va. In the case of phosphite reactants of Formula VII, the products are mixtures of **phosphate esters** of Formulas Vb and Vc. Generally the phosphate ester mixtures may be used without separation for the antitumor purposes of. . .

SUMM . . . the cyclic pentacovalent phosphate species of Formula V wherein R.sup.6 has Formula Vd enjoys an existence in solutions of the **esters** of Formulas Vb and Vc.

NCL NCLM: 536/017.100
NCLS: 536/004.100; 536/018.100; 536/117.000
IC [4]
ICM: C07H015-00
ICS: C07H017-00
EXF 536/18.1; 536/17.1; 536/1; 536/117; 536/4.1; 514/27; 514/34
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI Phosphorus containing derivatives of **epipodophyllotoxin**
SUMM The present invention provides phosphorous containing derivatives of **epipodophyllotoxin glucoside** aldehyde or ketone condensation products which have the ability to inhibit transplanted tumors in experimental animals and to the therapeutic. . .
SUMM . . . podophyllotoxin (III). The numbering system used for nomenclature purposes is shown in Formula III. Note that podophyllotoxin and etoposide, an **epipodophyllotoxin** derivative, are epimeric at the 4-position. Etoposide and teniposide are active in the treatment of a variety of cancers including. . .
SUMM The present invention is concerned with **epipodophyllotoxin** derivatives of Formula V wherein R.sup.4 and R.sup.5 represent the carbonyl attached groups of an aldehyde or ketone of the formula R.sup.4 R.sup.5 CO which is capable of condensing with **epipodophyllotoxin glucoside** as described in the Keller-Juslen patent cited above, U.S. Pat. No. 3,524,844. R.sup.6 has one of Formulas Va, Vb, or. . .
SUMM . . . are cyclic oxyphosphoranes of Formula Va. In the case of phosphite reactants of Formula VII, the products are mixtures of **phosphate esters** of Formulas Vb and Vc. Generally the phosphate ester mixtures may be used without separation for the antitumor purposes of. . .

L3 ANSWER 4 OF 4 USPATFULL
AN 90:15656 USPATFULL
TI **Epipodophyllotoxin glucoside** 4'-phosphate derivatives
IN Saulnier, Mark G., Middletown, CT, United States
Senter, Peter D., Seattle, WA, United States
Kadow, John F., Meriden, CT, United States
PA Bristol-Myers Company, New York, NY, United States (U.S. corporation)
PI US 4904768 19900227
AI US 1988-199731 19880527 (7)
RLI Continuation-in-part of Ser. No. US 1987-81493, filed on 4 Aug 1987, now abandoned
DT Utility
FS Granted
LN.CNT 794
INCL INCLM: 536/017.100
INCLS: 536/004.100; 536/017.200; 536/018.100; 536/018.200; 536/018.500; 536/117.000; 514/908.000
NCL NCLM: 536/017.100
NCLS: 514/908.000; 536/004.100; 536/017.200; 536/018.100; 536/018.200; 536/018.500; 536/117.000
IC [4]
ICM: C07H011-04
ICS: C07H015-00
EXF 536/4.1; 536/18.1; 536/17.2; 536/18.2; 536/18.5; 536/117; 536/17.1; 514/33; 514/34; 514/35; 514/908
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI **Epipodophyllotoxin glucoside** 4'-phosphate derivatives
SUMM The present invention relates to 4'-phosphate derivatives of **epipodophyllotoxin** glucosides, to their antitumor use, and to pharmaceutical compositions containing these new agents.

SUMM . . . Keller-Juslen et al. The compounds disclosed therein, in particular etoposide and teniposide, serve as starting material for our preparation of **epipodophyllotoxin glucoside** 4'-phosphate derivatives of the present invention. ##STR1##

SUMM The present invention provides **phosphate esters** of 4'-demethylepipodophyllotoxin glucosides which are active antitumor agents. In particular, the dihydrogen phosphate of 4'-demethylepipodophyllotoxin glucosides and salts thereof are. . .

DETD . . . to favor one or the other reaction product. For example, when a large excess of the amine relative to the **epipodophyllotoxin** is used, the symmetrical phosphorodiamidate is obtained, i.e. compounds of formula VII wherein Y is the same as NR.sup.2 R.sup.3. . .

DETD . . . compounds of formula V wherein R.sup.7 and R.sup.8 are not H, and they may be prepared by treating a 4'-demethylepipodophyllotoxin **glucoside** with a halophosphate diester, [i.e. Hal-P(X)(OR.sup.7)(OR.sup.8)]. It has been found that this reaction is most efficiently performed in acetonitrile in. . . base is used, but both reagents are preferably employed in molar equivalents in slight excess relative to that of the **epipodophyllotoxin glucoside** reactant. The reaction may be carried out at any temperature conducive to product formation; however, slightly elevated temperatures, e.g. 30.degree.-40.degree.. . .

=>

L2 ANSWER 1 OF 2 USPATFULL
AN 2002:99444 USPATFULL
TI Novel prodrugs for phosphorus-containing compounds
IN Erion, Mark D., Del Mar, CA, UNITED STATES
Reddy, K. Raja, San Diego, CA, UNITED STATES
Robinson, Edward D., San Diego, CA, UNITED STATES
Ugarkar, Bheemarao G., San Diego, CA, UNITED STATES
PI US 2002052345 A1 20020502
AI US 2001-978454 A1 20011015 (9)
RLI Continuation of Ser. No. US 1999-392352, filed on 8 Sep 1999, GRANTED, Pat. No. US 6312662 Continuation-in-part of Ser. No. US 1999-263976, filed on 5 Mar 1999, PENDING
PRAI US 1998-77164P 19980306 (60)
US 1998-77165P 19980306 (60)
DT Utility
FS APPLICATION
LN.CNT 8663
INCL INCLM: 514/079.000
INCLS: 514/110.000
NCL NCLM: 514/079.000
NCLS: 514/110.000
IC [7]
ICM: A61K031-675
ICS: A61K031-66
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD [0372] Oncolytic drugs such as **etoposide**, topotecan, taxol, etc. that contain a biologically important hydroxyl or oncolytic drugs such as mitomycin, anthracyclin antibiotics (e.g. dioxorubicin) that. . .
DETD [0374] Oncolytic drugs such as **etoposide**, topotecan, taxol, etc. that contain a biologically important hydroxyl or oncolytic drugs such as mitomycin, methotrexate, anthracyclin antibiotics (e.g. dioxorubicin). . .
DETD . . . Z are --H, W and V are both the same aryl, substituted aryl, heteroaryl, or substituted heteroaryl such that the **phosphonate prodrug** moiety: ##STR25##
DETD [0680] The **phosphonate prodrug** esters where spacer group X in formula II-IV is an aryl group, can be prepared by lithiation of aromatic ring. . .
CLM What is claimed is:
. . . Z are --H, W and V are both the same aryl, substituted aryl, heteroaryl, or substituted heteroaryl such that the **phosphonate prodrug** moiety: ##STR83## has a plane of symmetry.

L2 ANSWER 2 OF 2 USPATFULL
AN 2001:196573 USPATFULL
TI Prodrugs phosphorus-containing compounds
IN Erion, Mark D., Del Mar, CA, United States
Reddy, K. Raja, San Diego, CA, United States
Robinson, Edward D., San Diego, CA, United States
Ugarkar, Bheemarao G., San Diego, CA, United States
PA Metabasis Therapeutics, Inc., San Diego, CA, United States (U.S. corporation)
PI US 6312662 B1 20011106
AI US 1999-392352 19990908 (9)
RLI Continuation-in-part of Ser. No. US 1999-263976, filed on 5 Mar 1999
PRAI US 1998-77164P 19980306 (60)
DT Utility
FS GRANTED
LN.CNT 9069

INCL INCLM: 424/009.100
INCLS: 424/600.000; 424/001.110; 424/009.200; 424/001.650; 424/601.000; 514/007.000
NCL NCLM: 424/009.100
NCLS: 424/001.110; 424/001.650; 424/009.200; 424/600.000; 424/601.000; 514/007.000
IC [7]
ICM: A61K049-00
EXF 424/1.11; 424/1.65; 424/1.77; 424/9.1; 424/9.2; 424/600; 424/601; 424/603; 514/7
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD Oncolytic drugs such as **etoposide**, topotecan, taxol, etc. that contain a biologically important hydroxyl or oncolytic drugs such as mitomycin, anthracyclin antibiotics (e.g. dioxorubicin) that. . .
DETD Oncolytic drugs such as **etoposide**, topotecan, taxol, etc. that contain a biologically important hydroxyl or oncolytic drugs such as mitomycin, methotrexate, anthracyclin antibiotics (e.g. dioxorubicin). . .
DETD . . . Z are --H, W and V are both the same aryl, substituted aryl, heteroaryl, or substituted heteroaryl such that the **phosphonate prodrug** moiety: ##STR25##
DETD The **phosphonate prodrug** esters where spacer group X in formula II-IV is an aryl group, can be prepared by lithiation of aromatic ring. . .
CLM What is claimed is:
. . . Z are --H, W and V are both the same aryl, substituted aryl, heteroaryl, or substituted heteroaryl such that the **phosphonate prodrug** moiety: ##STR84## has a plane of symmetry.

FILE 'AGRICOLA, ALUMINIUM, ANABSTR, BABS, BIOCOMMERCE, BIOTECHNO, CABA, CAOLD, CAPLUS, CBNB, CEABA-VTB, CEN, CERAB, CIN, COMPENDEX, CONFSCI, COPPERLIT, CORROSION, DKILIT, ENCOMPLIT, ENCOMPLIT2, FEDRIP, GENBANK, INSPEC, INSPHYS, INVESTEXT, IPA, JICST-EPLUS, ...' ENTERED AT 16:32:56 ON 31 AUG 2002

L1 37 S PHOSPHONATE PRODRUG

L2 2 S L1 AND ETOPOSIDE